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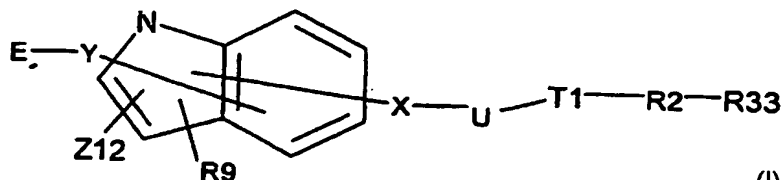
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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **INDOLE DERIVATIVES AS PPAR MODULATORS**



(I)

(57) Abstract: The present invention is directed to a method of treatment by modulating a peroxisome proliferator activated receptor by employing a compound of Structural Formula (I). The variables in (I) are defined herein. Also included are compounds, methods of making compounds, and pharmaceutical compositions. The

compounds of the present invention are believed to be effective in treating and preventing Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, atherosclerosis, and other disorders related to Syndrome X and cardiovascular diseases.

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/41698

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D209/08 C07D209/42 C07D405/12 C07D409/12 C07D413/12  
C07D413/14 C07D417/06 C07D417/12 C07D417/14 A61K31/404  
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According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02/30895 A (BAYER AG) 18 April 2002 (2002-04-18) claims 1,2,26-28,33-35,38-60; examples 220,240,241,261,273,283,284,287-299,301,34 3,344	1-140
X	WO 01/30343 A (BERGER JOEL P ; VENTRE JOHN (US); ZHANG BEI B (US); LEIBOWITZ MARK) 3 May 2001 (2001-05-03) page 6, line 16 -page 10, line 12; claims	1-140
Y	US 5 767 133 A (DOW ROBERT L ET AL) 16 June 1998 (1998-06-16) claims 1,48,49; examples 60,63,68,71,73,75,79,101,102,104,106,115,1 17	1-140
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
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- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
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- \*&\* document member of the same patent family

Date of the actual completion of the international search

7 May 2004

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Name and mailing address of the ISA

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# INTERNATIONAL SEARCH REPORT

National Application No

PCT/US 03/41698

**A. CLASSIFICATION OF SUBJECT MATTER**  
 IPC 7 A61P3/10 A61P29/00

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**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	RAMI H K ET AL: "Synthetic ligands for PPAR gamma - review of patent literature 1994-1999" EXPERT OPINION ON THERAPEUTIC PATENTS, ASHLEY PUBLICATIONS, GB, vol. 10, no. 5, 2000, pages 623-623, XP002257971 ISSN: 1354-3776 the whole document	1-140
P, X	WO 03/074051 A (FILZEN GARY FREDERICK; GEYER ANDREW GEORGE (US); CHENG XUE-MIN (US) 12 September 2003 (2003-09-12) abstract; claims 1-5,9-13,15; examples 21,24,25	1-140

☐ Further documents are listed in the continuation of box C.

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- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*Z\* document member of the same patent family

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Name and mailing address of the ISA

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## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

## Continuation of Box I.1

Although claims 92-139 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

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## Continuation of Box I.1

Rule 39.1(iv) PCT - Method for treatment of the human or animal body by therapy

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## Continuation of Box I.2

Claims Nos.: 1-42,44-87,89-139(all part)

Present claim 1 and all claims referring back to the current general formula relate to an extremely large number of possible compounds thus encompassing known general formulae (and claim 92 additionally already exemplified compounds). Besides the unlimited expressions "aryl", "heteroaryl", "aliphatic linker" etc. which among others may all be optionally substituted (see description) in particular the undefined connectivities give rise to a very large number of alternatives. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds of claims 43, 88 and 140, compositions containing the same and uses thereof, as well as the examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US 03/41698

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: -  
because they relate to subject matter not required to be searched by this Authority, namely:  
see FURTHER INFORMATION sheet PCT/ISA/210
2. ☒ Claims Nos.: 1-42, 44-87, 89-139(all part)  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 03/41698

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